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* * * * * Welcome to STN International * * * * *

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	MAR 31	IFICDB, IFIPAT, and IFIUDB enhanced with new custom IPC display formats
NEWS	3	MAR 31	CAS REGISTRY enhanced with additional experimental spectra
NEWS	4	MAR 31	CA/CAPLUS and CASREACT patent number format for U.S. applications updated
NEWS	5	MAR 31	LPCI now available as a replacement to LDPCI
NEWS	6	MAR 31	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS	7	APR 04	STN AnaVist, Version 1, to be discontinued
NEWS	8	APR 15	WPIDS, WPINDEX, and WPIX enhanced with new predefined hit display formats
NEWS	9	APR 28	EMBASE Controlled Term thesaurus enhanced
NEWS	10	APR 28	IMSRESEARCH reloaded with enhancements
NEWS	11	MAY 30	INPAFAMDB now available on STN for patent family searching
NEWS	12	MAY 30	DGENE, PCTGEN, and USGENE enhanced with new homology sequence search option
NEWS	13	JUN 06	EPFULL enhanced with 260,000 English abstracts
NEWS	14	JUN 06	KOREAPAT updated with 41,000 documents
NEWS	15	JUN 13	USPATFULL and USPAT2 updated with 11-character patent numbers for U.S. applications
NEWS	16	JUN 19	CAS REGISTRY includes selected substances from web-based collections
NEWS	17	JUN 25	CA/CAPLUS and USPAT databases updated with IPC reclassification data
NEWS	18	JUN 30	AEROSPACE enhanced with more than 1 million U.S. patent records
NEWS	19	JUN 30	EMBASE, EMBAL, and LEMBASE updated with additional options to display authors and affiliated organizations
NEWS	20	JUN 30	STN on the Web enhanced with new STN AnaVist Assistant and BLAST plug-in
NEWS	21	JUN 30	STN AnaVist enhanced with database content from EPFULL
NEWS	22	JUL 28	CA/CAPLUS patent coverage enhanced
NEWS	23	JUL 28	EPFULL enhanced with additional legal status information from the EPOLINE Register
NEWS	24	JUL 28	IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
NEWS	25	JUL 28	STN Viewer performance improved
NEWS	26	AUG 01	INPADOCDB and INPAFAMDB coverage enhanced
NEWS	27	AUG 13	CA/CAPLUS enhanced with printed Chemical Abstracts page images from 1967-1998
NEWS	28	AUG 15	CAOLD to be discontinued on December 31, 2008
NEWS	29	AUG 15	CAPLUS currency for Korean patents enhanced
NEWS	30	AUG 25	CA/CAPLUS, CASREACT, and IFI and USPAT databases enhanced for more flexible patent number searching

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items
NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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***** STN Columbus *****

FILE 'HOME' ENTERED AT 16:37:36 ON 26 AUG 2008

=> fil reg		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 16:37:53 ON 26 AUG 2008
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STRUCTURE FILE UPDATES: 25 AUG 2008 HIGHEST RN 1043631-35-1
DICTIONARY FILE UPDATES: 25 AUG 2008 HIGHEST RN 1043631-35-1

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TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

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<http://www.cas.org/support/stngen/stndoc/properties.html>

=>
Uploading C:\Documents and Settings\bmcldowell\My Documents\misc\10583576broad.str



```

chain nodes :
9 10
ring nodes :
1 2 3 4 5 6 7 8
chain bonds :
1-9 9-10
ring bonds :
1-2 1-7 2-3 3-4 4-5 4-8 5-6 6-7 7-8
exact/norm bonds :
1-2 1-7 1-9 2-3 3-4 4-5 4-8 7-8 9-10
exact bonds :
5-6 6-7
isolated ring systems :
containing 1 :

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G1:O,S,N

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:CLASS 10:CLASS

L1 STRUCTURE UPLOADED

=> s l1 sss full

FULL SEARCH INITIATED 16:38:13 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 73 TO ITERATE

100.0% PROCESSED 73 ITERATIONS

47 ANSWERS

SEARCH TIME: 00.00.15

L2 47 SEA SSS FUL L1

=> fil cap

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

FULL ESTIMATED COST

ENTRY	SESSION
178.36	178.57

FILE 'CAPLUS' ENTERED AT 16:38:35 ON 26 AUG 2008
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FILE COVERS 1907 - 26 Aug 2008 VOL 149 ISS 9
FILE LAST UPDATED: 25 Aug 2008 (20080825/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/legal/infopolicy.html>

=> s l2

L3 10 L2

=> d l-10 abs ibib hitstr

L3 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2008 ACS ON STN

AB Although it is accepted that trifluoroacetic acid (TFA) can cause suppression of an analyte during LC/MS anal., this paper presents a relatively sensitive gradient method that uses a TFA mobile phase for the improved quantification of small, polar drug-like compds. The described method was developed in a discovery drug metabolism and pharmacokinetics (DMPK) laboratory for the screening measurement of compound concns. to calculate PK parameters and CNS exposure of compds. from a chemical series that had poor chromatog. under generic methods using formic acid mobile phase. The samples were collected by a Culex automated sampling unit, and the plasma proteins were precipitated by a Tecan robot in 96-well plates. After centrifugation, the supernatant was removed, dried down using a SPE-Dry unit, and the samples were reconstituted in aqueous buffer on the robot. The samples were analyzed on an Agilent LC/MSD using a 5-min gradient on a 5 cm Ph column. No addnl. steps, such as the "TFA-fix", were necessary. Although sample batches were analyzed over 6 h, no drift or degradation of signal was observed. The improved chromatog. resulted in a method that was selective, rugged, and had a dynamic range from 5 to 20,000 nM, which was sufficient to quantitate low volume, serial plasma samples collected out to 8 h postdose.

ACCESSION NUMBER: 2007:996358 CAPLUS

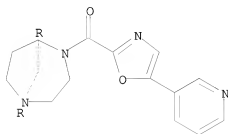
DOCUMENT NUMBER: 147:461507

TITLE: Use of trifluoroacetic acid to quantify small, polar compounds in rat plasma during discovery-phase pharmacokinetic evaluation

AUTHOR(S): Bock, M. J.; Neilson, K. L.; Dudley, A.

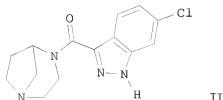
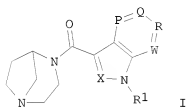
CORPORATE SOURCE: Discovery DMPK, AstraZeneca, Wilmington, DE, 19803, USA
 SOURCE: Journal of Chromatography, B: Analytical Technologies in the Biomedical and Life Sciences (2007), 856(1-2), 165-170
 CODEN: JCBAAI; ISSN: 1570-0232
 PUBLISHER: Elsevier B.V.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 IT 857521-69-8
 RL: ANT (Analyte); PKT (Pharmacokinetics); ANST (Analytical study); BIOL (Biological study)
 (use of trifluoroacetic acid to quantify small, polar compds. in rat plasma during discovery-phase pharmacokinetic evaluation)
 RN 857521-69-8 CAPLUS
 CN Methanone, (1R,5R)-1,4-diazabicyclo[3.2.1]oct-4-yl[5-(3-pyridinyl)-2-oxazolyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
 GI



AB Title compds. I [wherein X = N, CR2, P = CR3, Q = CR4; R = CR5; W = CR6, or one of P, Q, R, W = N; R1, R2 = independently H, alkyl; R3, R4, R5, R6

= independently H, halo, alkyl, alkoxy, NO2, NH2 and derivs., CF3, CN, NHCO2H and derivs., OH and derivs., SH and derivs., CO2H and derivs., CONH2 and derivs., etc.; R3CCR4, R4CCR5, R5CCR6 = (un)substituted hetero/aromatic 6-membered; their free bases and salts of addition with acids were prepared as CNS agents, and specifically as ligands of nicotinic receptor. The compds. were tested against nicotinic receptors with the $\alpha 4\beta 2$ subunit or with the $\alpha 7$ subunit. Thus, reacting 3-iodo-6-chloro-1H-indazole with 1,4-diazabicyclo[3.2.1]octane and CO in the presence of TEA/DMF at 70° for 8 h gave II•HCl (m.p. = 285-286°). In tests for specific binding to isolated rat cerebral nicotinic receptors having either $\alpha 4\beta 2$ or $\alpha 7$ subunits, compds. I displayed IC50 values in the ranges of 1-10 μ M and 0.01-0.1 μ M, resp. I showed selectivity for the $\alpha 7$ receptor subtype.

ACCESSION NUMBER: 2005:637812 CAPLUS
DOCUMENT NUMBER: 143:133407
TITLE: Preparation of 1,4-diazabicyclo[3.2.1]octanecarboxamides as ligands for nicotinic receptors, especially $\alpha 4\beta 2$ and $\alpha 7$ subunits, for treating central nervous system diseases
INVENTOR(S): Galli, Frederic; Leclerc, Odile; Lochead, Alistair W.
PATENT ASSIGNEE(S): Sanofi-Synthelabo S.A., Fr.
SOURCE: Fr. Demande, 22 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

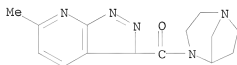
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2865208	A1	20050722	FR 2004-390	20040116
AU 2005212867	A1	20050825	AU 2005-212867	20050107
CA 2549954	A1	20050825	CA 2005-2549954	20050107
WO 2005077955	A1	20050825	WO 2005-FR27	20050107
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
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EP 1709052	A1	20061011	EP 2005-717375	20050107
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CN 1946726	A	20070411	CN 2005-80002630	20050107
BR 2005006879	A	20070612	BR 2005-6879	20050107
JP 2007517838	T	20070705	JP 2006-548338	20050107
IN 2006KN01850	A	20070511	IN 2006-KN1850	20060703
US 20070155749	A1	20070705	US 2006-456345	20060710
MX 2006PA07984	A	20061019	MX 2006-PA7984	20060712
KR 2007017990	A	20070213	KR 2006-714266	20060714
NO 2006003666	A	20061011	NO 2006-3666	20060814
PRIORITY APPLN. INFO.:			FR 2004-390	A 20040116
			WO 2005-FR27	W 20050107

OTHER SOURCE(S): MARPAT 143:133407
IT 858628-83-8P, 3-[(1,4-Diazabicyclo[3.2.1]oct-4-yl)carbonyl]-6-methyl-1H-pyrazolo[3,4-b]pyridine dihydrobromide 858628-85-0P,

3-[(1,4-Diazabicyclo[3.2.1]oct-4-yl)carbonyl]-1H-indazole
monohydrochloride 858628-87-2P, 6-Chloro-3-[(1,4-
diazabicyclo[3.2.1]oct-4-yl)carbonyl]-1H-indazole monohydrobromide
858628-89-4P, 3-[(1,4-Diazabicyclo[3.2.1]oct-4-yl)carbonyl]-5-
fluoro-1H-indazole dihydrobromide 858628-91-8P
858628-94-1P 858628-96-3P 858628-98-5P
858629-01-3P 858638-38-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(nicotinic receptor $\alpha 7$ subunit ligand; preparation of
1,4-diazabicyclo[3.2.1]octanecarboxamides as ligands for nicotinic
receptors, especially $\alpha 4\beta 2$ and $\alpha 7$ subunits, for treating
central nervous system diseases)

RN 858628-83-8 CAPLUS

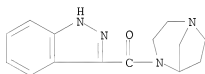
CN Methanone, 1,4-diazabicyclo[3.2.1]oct-4-yl(6-methyl-3H-pyrazolo[3,4-
b]pyridin-3-yl)-, hydrobromide (1:2) (CA INDEX NAME)



● 2 HBr

RN 858628-85-0 CAPLUS

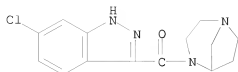
CN Methanone, 1,4-diazabicyclo[3.2.1]oct-4-yl-1H-indazol-3-yl-, hydrochloride
(1:1) (CA INDEX NAME)



● HCl

RN 858628-87-2 CAPLUS

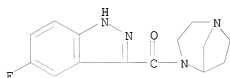
CN Methanone, (6-chloro-1H-indazol-3-yl)-1,4-diazabicyclo[3.2.1]oct-4-yl-,
hydrobromide (1:1) (CA INDEX NAME)



● HBr

RN 858628-89-4 CAPLUS

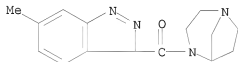
CN Methanone, 1,4-diazabicyclo[3.2.1]oct-4-yl(5-fluoro-1H-indazol-3-yl)-, hydrobromide (1:2) (CA INDEX NAME)



● 2 HBr

RN 858628-91-8 CAPLUS

CN Methanone, 1,4-diazabicyclo[3.2.1]oct-4-yl(6-methyl-3H-indazol-3-yl)-, hydrobromide (1:?) (CA INDEX NAME)



●x HBr

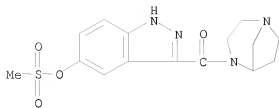
RN 858628-94-1 CAPLUS

CN Methanone, 1,4-diazabicyclo[3.2.1]oct-4-yl[5-[(methylsulfonyl)oxy]-1H-indazol-3-yl]-, ethanedioate (1:?) (CA INDEX NAME)

CM 1

CRN 858628-93-0

CMF C15 H18 N4 O4 S



CM 2

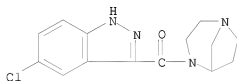
CRN 144-62-7

CMF C2 H2 O4



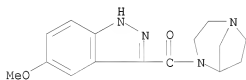
RN 858628-96-3 CAPLUS

CN Methanone, (5-chloro-1H-indazol-3-yl)-1,4-diazabicyclo[3.2.1]oct-4-yl-
(CA INDEX NAME)



RN 858628-98-5 CAPLUS

CN Methanone, 1,4-diazabicyclo[3.2.1]oct-4-yl (5-methoxy-1H-indazol-3-yl)-
(CA INDEX NAME)



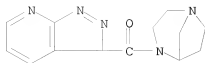
RN 858629-01-3 CAPLUS

CN Methanone, 1,4-diazabicyclo[3.2.1]oct-4-yl-3H-pyrazolo[3,4-b]pyridin-3-yl-
, ethanedioate (1:?) (CA INDEX NAME)

CM 1

CRN 858629-00-2

CMF C13 H15 N5 O



CM 2

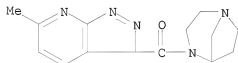
CRN 144-62-7

CMF C2 H2 O4



RN 858638-38-7 CAPLUS

CN Methanone, 1,4-diazabicyclo[3.2.1]oct-4-yl (6-methyl-3H-pyrazolo[3,4-b]pyridin-3-yl)-, hydrochloride (1:?) (CA INDEX NAME)

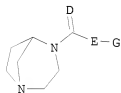


●x HCl

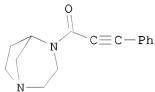
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2008 ACS ON STN

GI



I



II

AB Title compds. I [D = O, S, N(R1)2; E = C(R1)2C(R1)2, CR1=CR1, C(R1)2O, etc.; G = 5- or 6-membered aromatic or heteroarom. ring; R1 = H, halo, alkyl, etc.] and their pharmaceutically acceptable salts were prepared For example, coupling of phenylpropynoic acid and 1,4-diazabicyclo[3.2.1]octane dihydrochloride afforded ethanopiperazine II. In nicotinic receptor $\alpha 7$ affinity binding assays, compds. I exhibited specific binding of 75% (sic).

ACCESSION NUMBER: 2005:588985 CAPLUS

DOCUMENT NUMBER: 143:115572
 TITLE: Preparation of 1,3-ethanopiperazines as nicotinic acetylcholine receptor ligands
 INVENTOR(S): Ernst, Glen; Frietze, William; Jacobs, Robert; Phillips, Eifion
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
 SOURCE: PCT Int. Appl., 23 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005061511	A1	20050707	WO 2004-SE1942	20041220
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RM:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1699802	A1	20060913	EP 2004-809115	20041220
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS			
CN 1902204	A	20070124	CN 2004-80038236	20041220
JP 2007515480	T	20070614	JP 2006-546910	20041220
IN 2006DN03176	A	20070824	IN 2006-DN3176	20060602
US 20070244097	A1	20071018	US 2007-583585	20070410
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			WO 2004-SE1942	W 20041220

OTHER SOURCE(S): MARPAT 143:115572

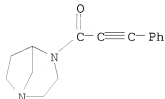
IT 857334-56-6P 857334-57-7P 857334-58-8P
 857334-59-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of ethanopiperazines as nicotinic acetylcholine receptor ligands)

RN 857334-56-6 CAPLUS

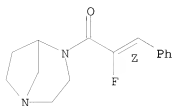
CN 2-Propyn-1-one, 1-(1,4-diazabicyclo[3.2.1]oct-4-yl)-3-phenyl- (CA INDEX NAME)



RN 857334-57-7 CAPLUS

CN 2-Propen-1-one, 1-(1,4-diazabicyclo[3.2.1]oct-4-yl)-2-fluoro-3-phenyl-, (2Z)- (CA INDEX NAME)

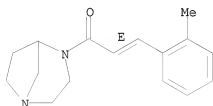
Double bond geometry as shown.



RN 857334-58-8 CAPLUS

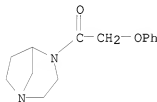
CN 2-Propen-1-one, 1-(1,4-diazabicyclo[3.2.1]oct-4-yl)-3-(2-methylphenyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



RN 857334-59-9 CAPLUS

CN Ethanone, 1-(1,4-diazabicyclo[3.2.1]oct-4-yl)-2-phenoxy- (CA INDEX NAME)

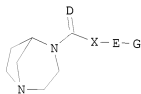


REFERENCE COUNT: 5

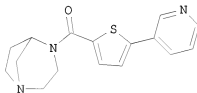
THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN

GI



I



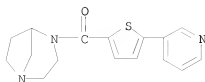
II

AB Title compds. I [D = O, S, N(R1)2; X = Ar1; Ar1 = 5- or 6-membered aromatic or heteroarom. ring with provisos; E = single bond, O, S, etc.; G = H, alkoxy, 5- or 6-membered aromatic or heteroarom. ring, etc.;] and their pharmaceutically acceptable salts were prepared For example, coupling of 1,4-diazabicyclo[3.2.1]octane dihydrochloride and 5-(2-pyridyl)thiophene-2-

carboxylic acid afforded ethanopiperazine II in 60% yield. In nicotinic receptor $\alpha 7$ affinity binding assays, compds. I exhibited specific binding of 75% (sic).

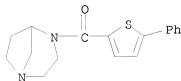
ACCESSION NUMBER: 2005:588983 CAPLUS
DOCUMENT NUMBER: 143:115571
TITLE: Preparation of 1,3-ethanopiperazines as nicotinic acetylcholine receptor ligands
INVENTOR(S): Ernst, Glen; Frietze, William; Jacobs, Robert; Phillips, Eifion
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005061510	A1	20050707	WO 2004-SE1941	20041220
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004303738	A1	20050707	AU 2004-303738	20041220
CA 2550655	A1	20050707	CA 2004-2550655	20041220
EP 1699801	A1	20060913	EP 2004-809114	20041220
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU			
CN 1918166	A	20070221	CN 2004-80041294	20041220
BR 2004017946	A	20070417	BR 2004-17946	20041220
JP 2007515479	T	20070614	JP 2006-546909	20041220
IN 2006DN03172	A	20070803	IN 2006-DN3172	20060602
MX 2006PA07027	A	20060831	MX 2006-PA7027	20060619
NO 2006003354	A	20060921	NO 2006-3354	20060719
US 20070249588	A1	20071025	US 2007-583576	20070326
PRIORITY APPLN. INFO.:			US 2003-531710P	P 20031222
			WO 2004-SE1941	W 20041220
OTHER SOURCE(S):	CASREACT 143:115571; MARPAT 143:115571			
IT	857334-62-4P 857334-63-5P 857334-64-6P 857334-65-7P 857334-66-8P 857334-67-9P 857334-68-0P 857334-69-1P 857334-70-4P 857334-71-5P 857334-72-6P 857334-73-7P 857334-74-8P 857334-75-9P 857334-76-0P			
RL:	PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)			
	(preparation of ethanopiperazines as nicotinic acetylcholine receptor ligands)			
RN	857334-62-4 CAPLUS			
CN	Methanone, 1,4-diazabicyclo[3.2.1]oct-4-yl[5-(3-pyridinyl)-2-thienyl]-(CA INDEX NAME)			



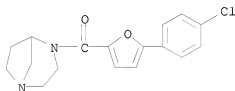
RN 857334-63-5 CAPLUS

CN Methanone, 1,4-diazabicyclo[3.2.1]oct-4-yl(5-phenyl-2-thienyl)- (CA INDEX NAME)



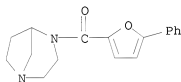
RN 857334-64-6 CAPLUS

CN Methanone, [5-(4-chlorophenyl)-2-furanyl]-1,4-diazabicyclo[3.2.1]oct-4-yl- (CA INDEX NAME)



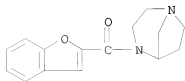
RN 857334-65-7 CAPLUS

CN Methanone, 1,4-diazabicyclo[3.2.1]oct-4-yl(5-phenyl-2-furanyl)- (CA INDEX NAME)



RN 857334-66-8 CAPLUS

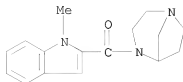
CN Methanone, 2-benzofuranyl-1,4-diazabicyclo[3.2.1]oct-4-yl- (CA INDEX NAME)



RN 857334-67-9 CAPLUS

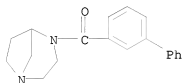
CN Methanone, 1,4-diazabicyclo[3.2.1]oct-4-yl(1-methyl-1H-indol-2-yl)- (CA INDEX NAME)

INDEX NAME)



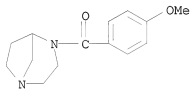
RN 857334-68-0 CAPLUS

CN Methanone, [1,1'-biphenyl]-3-yl-1,4-diazabicyclo[3.2.1]oct-4-yl- (CA INDEX NAME)



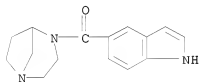
RN 857334-69-1 CAPLUS

CN Methanone, 1,4-diazabicyclo[3.2.1]oct-4-yl(4-methoxyphenyl)- (CA INDEX NAME)



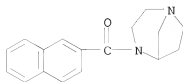
RN 857334-70-4 CAPLUS

CN Methanone, 1,4-diazabicyclo[3.2.1]oct-4-yl-1H-indol-5-yl- (CA INDEX NAME)



RN 857334-71-5 CAPLUS

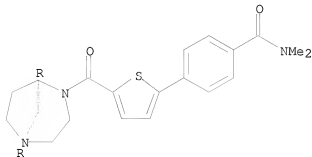
CN Methanone, 1,4-diazabicyclo[3.2.1]oct-4-yl-2-naphthalenyl- (CA INDEX NAME)



RN 857334-72-6 CAPLUS

CN Benamide, 4-[5-[(1R,5R)-1,4-diazabicyclo[3.2.1]oct-4-ylcarbonyl]-2-thienyl]-N,N-dimethyl- (CA INDEX NAME)

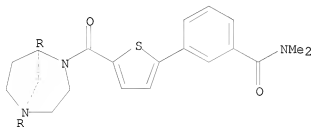
Absolute stereochemistry.



RN 857334-73-7 CAPLUS

CN Benamide, 3-[5-[(1R,5R)-1,4-diazabicyclo[3.2.1]oct-4-ylcarbonyl]-2-thienyl]-N,N-dimethyl- (CA INDEX NAME)

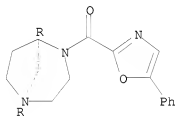
Absolute stereochemistry.



RN 857334-74-8 CAPLUS

CN Methanone, (1R,5R)-1,4-diazabicyclo[3.2.1]oct-4-yl(5-phenyl-2-oxazolyl)-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

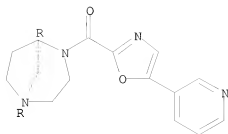


● HCl

RN 857334-75-9 CAPLUS

CN Methanone, (1R,5R)-1,4-diazabicyclo[3.2.1]oct-4-yl[5-(3-pyridinyl)-2-oxazolyl]-, hydrochloride (1:2) (CA INDEX NAME)

Absolute stereochemistry.

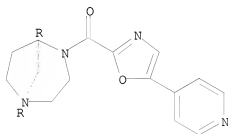


●2 HCl

RN 857334-76-0 CAPLUS

CN Methanone, (1R,5R)-1,4-diazabicyclo[3.2.1]oct-4-yl[5-(4-pyridinyl)-2-oxazolyl]-, hydrochloride (1:2) (CA INDEX NAME)

Absolute stereochemistry.

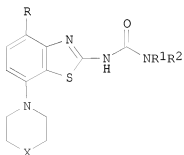


●2 HCl

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN

GI



I

AB Title compds. [I; R = alkoxy, halo; R1, R2 = H, alkyl, cycloalkyl,

tetrahydropyran-4-yl; R1R2N = (substituted) 2-oxa-5-azabicyclo[2.2.1]heptyl, 3-endo-hydroxy-8-azabicyclo[3.2.1]octyl, 2-azabicyclo[2.2.2]octyl, 1-oxo-2,8-diazaspiro[4.5]decyl, 3-azaspiro[5.5]undecyl, 8-azaspiro[4.5]decyl, 1-oxa-8-azaspiro[4.5]decyl, 1,8,8-trimethyl-3-azabicyclo[3.2.1]octyl, 1,4-oxazepanyl, 2-oxa-5-azabicyclo[2.2.2]octyl, 8-oxa-3-azabicyclo[3.2.1]octyl, 1,4-diazabicyclo[3.2.1]octyl, 2-azabicyclo[2.2.1]heptyl, 3-azabicyclo[3.2.1]octyl, piperazinyl, piperidin-1-yl; X = O, CH₂; n = 0-4], were prepared. Thus, 4-methoxy-7-morpholin-4-ylbenzothiazol-2-ylamine in CH₂Cl₂ was treated with pyridine and Ph chloroformate and the resulting solution stirred for 45 min at ambient temperature; (1S,4S)-2-oxa-5-azabicyclo[2.2.1]heptane was added and the mixture stirred at ambient temperature

for 15 min and at 40° for 2.5 h. to give (1S,4S)-2-oxa-5-azabicyclo[2.2.1]heptane-5-carboxylic acid (4-methoxy-7-morpholin-4-ylbenzothiazol-2-yl)amide. This bound to human A_{2a} receptors with pK_i = 8.5.

ACCESSION NUMBER: 2003:472390 CAPLUS
DOCUMENT NUMBER: 139:53026
TITLE: Preparation of ureidobenzothiazoles as adenosine receptor ligands
INVENTOR(S): Flohr, Alexander; Jakob-Roetne, Roland; Norcross, Roger David; Riemer, Claus
PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003049741	A1	20030619	WO 2002-EP13761	20021205
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 20030149036	A1	20030807	US 2002-308338	20021203
US 6727247	B2	20040427		
CA 2469596	A1	20030619	CA 2002-2469596	20021205
AU 2002356626	A1	20030623	AU 2002-356626	20021205
AU 2002356626	B2	20071129		
BR 2002014825	A	20040914	BR 2002-14825	20021205
EP 1455792	A1	20040915	EP 2002-804578	20021205
EP 1455792	B1	20070418		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK			
CN 1602196	A	20050330	CN 2002-824654	20021205
JP 2005516006	T	20050602	JP 2003-550790	20021205
AT 359792	T	20070515	AT 2002-804578	20021205
ES 2283652	T3	20071101	ES 2002-804578	20021205
RU 2311905	C2	20071210	RU 2004-121166	20021205
US 20040229893	A1	20041118	US 2003-691770	20031023
US 7019001	B2	20060328		
MX 2004PA05444	A	20041011	MX 2004-PA5444	20040604

PRIORITY APPLN. INFO.:

EP 2001-129228
US 2002-308338
WO 2002-EP13761

A 20011210
A3 20021203
W 20021205

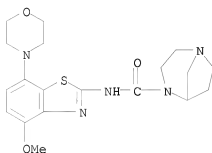
OTHER SOURCE(S): MARPAT 139:53026

IT 546093-56-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of ureidobenzothiazoles as adenosine receptor ligands)

RN 546093-56-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane-4-carboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (CA INDEX NAME)



REFERENCE COUNT:

2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2008 ACS ON STN

GI



nHCl

I, R=H, n=2

II, R=CONEt₂, n=1



III

AB Four 3-aminopyrrolidine acyl derivs. and 1,4-diazabicyclo[3.2.1]octane-2HCl (I) [5492-61-5] and 2 acyl derivs. were prepared, of which all but I had significant activity in the Litomosoides carinii gerbil test system but had no effect on adult worms. The most active diazabicyclo compound, II [60137-50-0], was prepared from 2-(2-hydroxyethyl)pyrazine [6705-31-3] by hydrogenation, chlorination, ring closure, and acylation. The most active aminopyrrolidine, III [64021-90-5], was prepared from 3-pyrrolidinol [40499-83-0] by acylation, chlorination, reaction with benzylamine, methylation, debenzylation, and methylation. Structure-activity relations are discussed, including the effects of conformation and positions of pharmacophores.

ACCESSION NUMBER: 1977:527018 CAPLUS

DOCUMENT NUMBER: 87:127018

ORIGINAL REFERENCE NO.: 87:20081a,20084a

TITLE: Antifilarial agents. 3-Aminopyrrolidine and 1,4-diazabicyclo[3.2.1]octane derivatives as analogs of diethylcarbamazine

AUTHOR(S): Sturm, Priscilla A.; Cory, Michael; Henry, David W.; McCall, J. W.; Ziegler, J. B.

CORPORATE SOURCE: Bio-Org. Chem. Dep., Stanford Res. Inst., Menlo Park,

SOURCE: CA, USA
 Journal of Medicinal Chemistry (1977), 20(10), 1333-7
 CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

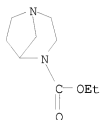
LANGUAGE: English

OTHER SOURCE(S): CASREACT 87:127018

IT 60137-49-7P 60137-50-0P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation and anthelmintic activity of)

RN 60137-49-7 CAPLUS

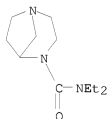
CN 1,4-Diazabicyclo[3.2.1]octane-4-carboxylic acid, ethyl ester,
 monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 60137-50-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane-4-carboxamide, N,N-diethyl-,
 monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L3 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN

AB One cis- and 7 trans-1,2-cyclobutanediamines with N-methyl and N-acyl substituents were prepared by monoacylating the appropriate diamine followed by reductive methylation. None of the compds. was active against *Litomosoides carinii* in the gerbil. Inactivity is discussed in terms of pharmacophore configurations. Structure-activity relations for 24 addnl. diethylcarbamazine [90-89-1] analogs are discussed.

ACCESSION NUMBER: 1977:527003 CAPLUS

DOCUMENT NUMBER: 87:127003

ORIGINAL REFERENCE NO.: 87:20077a,20080a

TITLE: Antifilarial agents. 1,2-Cyclobutanediamines as analogs of diethylcarbamazine. Status of structure-activity relations among diethylcarbamazine analogs

AUTHOR(S): Sturm, Priscilla A.; Cory, Michael; Henry, David W.; McCall, J. W.; Ziegler, J. B.

CORPORATE SOURCE: Coll. Vet. Med., Univ. Georgia, Athens, GA, USA

SOURCE: Journal of Medicinal Chemistry (1977), 20(10), 1327-33

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

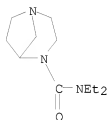
IT 63574-73-2

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(anthelmintic activity of, structure in relation to)

RN 63574-73-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane-4-carboxamide, N,N-diethyl- (CA INDEX NAME)



L3 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN

GI



AB Diazabicyclooctanes (I; R = EtOCO, Et2NCO), useful as antifilarial agents as indicated by tests against *Litomosoides carinii* in gerbils, were prepared by acylation of I (R = H) (II) with EtOCOC1 and Et2NCOCl; the compds. were isolated as HCl salts. II was prepared by hydrogenating 2-(2-hydroxyethyl)pyrazine with PtO2 catalyst, treating the product with SOCl2, and cyclizing the resultant 2-(2-chloroethyl)piperazine with aqueous NaOH.

ACCESSION NUMBER: 1976:494404 CAPLUS

DOCUMENT NUMBER: 85:94404

ORIGINAL REFERENCE NO.: 85:15129a,15132a

TITLE: 1,4-Diazabicyclo[3.2.1]octanes

INVENTOR(S): Henry, David W.; Sturm, Priscilla A.

PATENT ASSIGNEE(S): Stanford Research Institute, USA

SOURCE: U.S., 3 pp.

CODEN: USXXAM

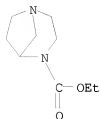
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

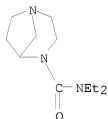
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3954766	A	19760504	US 1975-594510	19750709
PRIORITY APPLN. INFO.:			US 1975-594510	A 19750709
IT 60137-49-7P 60137-50-0P				
RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, for use as antifilarial agent)				
RN 60137-49-7 CAPLUS				
CN 1,4-Diazabicyclo[3.2.1]octane-4-carboxylic acid, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)				



● HCl

RN 60137-50-0 CAPLUS
CN 1,4-Diazabicyclo[3.2.1]octane-4-carboxamide, N,N-diethyl-,
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

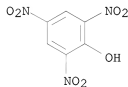
L3 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
AB Lactonization of the stereoisomeric N-(carboxymethyl)-4-phenyl-4-ethylpyrrolidin-3-ols as well as of the corresponding Me and Et esters and of their 3-acetates afforded the bicyclic lactone, 6-phenyl-6-ethyl-1-aza-4-oxabicyclo[3.2.1]octan-3-one. Reductive cyclization of N-(carbethoxymethyl)-2-phenyl-2-ethylpyrrolidin-3-one oxime yielded the bicyclic lactam, 8-phenyl-8-ethyl-1,4-diazabicyclo-[3.2.1]octan-3-one.

ACCESSION NUMBER: 1972:113167 CAPLUS
DOCUMENT NUMBER: 76:113167
ORIGINAL REFERENCE NO.: 76:18277a,18280a
TITLE: Bridged bicyclic compounds. 6-Phenyl-6-ethyl-1-aza-4-oxabicyclo[3.2.1]octan-3-one and 8-phenyl-8-ethyl-1,4-diazabicyclo[3.2.1]octan-3-one
AUTHOR(S): Hirshfeld, A.; Taub, W.; Glotter, E.

CORPORATE SOURCE: Dep. Chem., Weizmann Inst. Sci., Rehovot, Israel
 SOURCE: Tetrahedron (1972), 28(5), 1275-87
 CODEN: TETRAB; ISSN: 0040-4020
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 76:113167
 IT 35729-86-3P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 35729-86-3 CAPLUS
 CN 1,4-Diazabicyclo[3.2.1]octane, 4-acetyl-8-ethyl-8-phenyl-, compd. with
 2,4,6-trinitrophenol (1:1) (9CI) (CA INDEX NAME)
 CM 1
 CRN 46939-11-1
 CMF C16 H22 N2 O



CM 2
 CRN 88-89-1
 CMF C6 H3 N3 O7

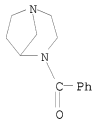


L3 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
 AB 2-(2-Chloroethyl)piperazine (I) was treated with NaOH to give
 1,3-ethanopiperazine (II), which was possibly acylated or alkylated at the
 4-C. Thus, 10 g. 2-(2-hydroxyethyl)pyrazine was hydrogenated in 150 cc.
 MeOH at room temperature, under a H pressure of 2.8 kg./cm.2, in the presence
 of 2.5 g. Pt2O for 20 hrs., filtered off, and the filtrate distilled in vacuo to
 give a residue of 2-(2-hydroxyethyl)piperazine (III), which gave by
 reaction with an excess of HCl in MeOH, a precipitate of III.2HCl, m.
 .apprx.210°. SOCl2 (100 cc.) was added at -40° in 3-cc.
 portions to 20 g. III. The reaction mixture was refluxed 5.5 hrs., cooled
 to room temperature, and filtered. The residue was dried to give after
 precipitation from acetone 1.2HCl (IV), m. 348-50°. A suspension of 60 g. IV in
 45 cc. water was cooled and treated with 45 g. NaOH in 45 cc. water. The
 mixture was extracted 5 times with CHCl3, and the exts. were dried over Na2SO4
 and evaporated in vacuo. The residue was distilled in the presence of NaOH at
 3 mm. and <100° to give II. Reaction of II with excess HCl in MeOH

yielded II.2HCl, m. 348°. A solution of 0.5 g. II in 3 cc. 10% NaOH solution was treated with 5 times 0.2 cc. BzCl. The solution was extracted 3 times with 5 cc. CHCl₃. The exts. were dried on Na₂SO₄, evaporated in vacuo, and crystallized 2 times from ether, to give the 4-benzoyl homolog of II (V), m. 95-7°. MeI (14.2 g.) was added slowly with stirring to a solution of 11.3 g. II in 15 cc. acetone, and the mixture refluxed 2 hrs. and dried in vacuo. An aqueous alkaline solution of the residue was extracted 5 times with 10 cc. CHCl₃. The exts. were dried over Na₂SO₄, evaporated, and distilled in vacuo. The fraction b₂₀ 67-70° was the 4-methyl homolog of II (VI). II, V, and VI are veterinary anthelmintics.

ACCESSION NUMBER: 1966:27623 CAPLUS
DOCUMENT NUMBER: 64:27623
ORIGINAL REFERENCE NO.: 64:5115d-g
TITLE: 1,3-Ethanopiperazine and derivatives
PATENT ASSIGNEE(S): Merck & Co., Inc.
SOURCE: 9 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 6501367		19650804	NL 1965-1367	19650203
US 3281423			US	
PRIORITY APPLN. INFO.:			US	19640203
IT 5167-10-2P, 1,4-Diazabicyclo[3.2.1]octane, 4-benzoyl-				
RL: PREP (Preparation)				
(preparation of)				
RN 5167-10-2 CAPLUS				
CN 1,4-Diazabicyclo[3.2.1]octane, 4-benzoyl- (7CI, 8CI) (CA INDEX NAME)				



=> fil stnguide
COST IN U.S. DOLLARS
FULL ESTIMATED COST

SINCE FILE	TOTAL
ENTRY	SESSION
54.98	233.55

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)
CA SUBSCRIBER PRICE

SINCE FILE	TOTAL
ENTRY	SESSION
-8.00	-8.00

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